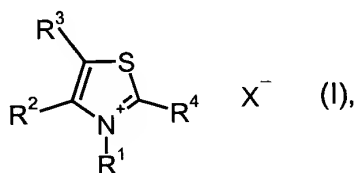


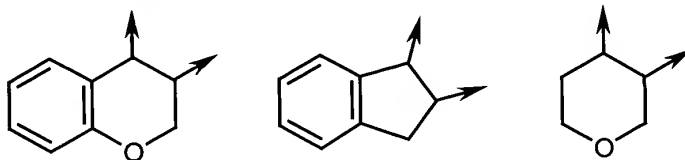
WHAT IS CLAIMED IS:

1. A compound of the formula (I)



in which

- 5 R^1 represents methyl, ethyl, n-propyl, isopropyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, or benzyl that is optionally substituted by halogen, nitro, $\text{C}_1\text{-C}_4\text{-alkyl}$, or $\text{C}_1\text{-C}_4\text{-alkoxy}$,
- 10 R^2 represents $\text{C}_1\text{-C}_4\text{-alkyl}$, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, phenyl that is optionally substituted by halogen, NO_2 , $\text{C}_1\text{-C}_4\text{-alkyl}$, $\text{C}_1\text{-C}_4\text{-halogenoalkyl}$, $\text{C}_1\text{-C}_4\text{-alkylsulfonyl}$, $\text{C}_1\text{-C}_4\text{-alkoxy}$, $\text{C}_1\text{-C}_4\text{-halogenoalkoxy}$, $\text{C}_1\text{-C}_4\text{-alkoxycarbonyl}$, $\text{C}_1\text{-C}_4\text{-halogenoalkoxycarbonyl}$, $\text{C}_1\text{-C}_4\text{-alkylcarbonyloxy}$, or $\text{C}_1\text{-C}_4\text{-halogenoalkylcarbonyloxy}$, benzyl that is
- 15 optionally substituted by halogen, nitro, $\text{C}_1\text{-C}_4\text{-alkyl}$, or $\text{C}_1\text{-C}_4\text{-alkoxy}$, or pyrrolyl, thienyl, naphthyl, or benzothiophenyl, each of which is optionally substituted by halogen, $\text{C}_1\text{-C}_4\text{-alkyl}$, or $\text{C}_1\text{-C}_4\text{-halogenoalkyl}$,
- 20 R^3 represents hydrogen, methyl, or ethyl, or R^2 and R^3 together represent $-(\text{CH}_2)_n-$ that is optionally substituted by halogen, NO_2 , carboxyl, carbonyl, $\text{C}_1\text{-C}_4\text{-alkyl}$, $\text{C}_1\text{-C}_4\text{-halogenoalkyl}$, $\text{C}_1\text{-C}_4\text{-alkoxy}$, or $\text{C}_1\text{-C}_4\text{-halogenoalkoxy}$ or the optionally halogen-, NO_2 -, $\text{C}_1\text{-C}_4\text{-alkyl}$ -, $\text{C}_1\text{-C}_4\text{-halogenoalkyl}$ -, $\text{C}_1\text{-C}_4\text{-alkoxy}$ -, or $\text{C}_1\text{-C}_4\text{-halogenoalkoxy}$ -substituted groups having the formulas



25 where the arrows mark the points of linkage to the thiazole ring, and

n represents 3, 4 or 5,

R⁴ represents bromine or chlorine, and

X⁻ represents chloride, bromide, iodide, hydrogen sulfate, ½ equivalent of sulfate, sulfate, hexachloroantimonate, methanesulfonate,

5 trifluoromethanesulfonate, p-toluenesulfonate, tetrafluoroborate, tetraphenylborate, or hexafluorophosphate,

excluding the compounds 2-bromo-3-ethyl-4-methylthiazolium tetrafluoroborate and 2-bromo-3-ethyl-4-methylthiazolium hexachloroantimonate,

2-chloro-3-ethyl-4-methylthiazolium tetrafluoroborate and 2-chloro-3-ethyl-4-methylthiazolium hexachloroantimonate, 2-bromo-3-methyl-4-phenylthiazolium tetrafluoroborate, 2-chloro-3-ethyl-4,5-dimethylthiazolium tetrafluoroborate, and 2-chloro-3,4-dimethylthiazolium tetrafluoroborate.

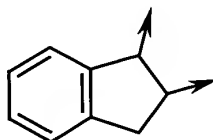
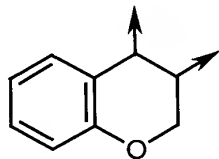
2. A compound of the formula (I) according to Claim 1 wherein

15 R¹ represents methyl, ethyl, n-propyl, hydroxyl, methylsulfonyl, ethylsulfonyl, or benzyl that is optionally substituted by fluorine and/or chlorine, methyl, ethyl, n- or i-propyl, trifluoromethyl, methoxy, ethoxy, or n- or i-propoxy,

20 R² represents methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, isobutyl, or benzyl or phenyl that is optionally substituted by fluorine and/or chlorine, methyl, ethyl, n- or i-propyl, methoxy, ethoxy, or n- or i-propoxy,

R³ represents hydrogen or methyl, or

25 R² and R³ together represent -(CH₂)_n- substituted by fluorine and/or chlorine, methyl, ethyl, trifluoromethyl, methoxy, ethoxy, or carbonyl or the groups having the formulas



, and

n represents 3 or 4,

R⁴ represents bromine, and

X⁻ represents bromide, ½ equivalent of sulfate, sulfite, SbCl₆⁻, mesylate, triflate, tosylate, tetrafluoroborate, tetraphenylborate, or hexafluorophosphate.

3. A compound of the formula (I) according to Claim 1 wherein

5 R¹ represents methyl, ethyl, methylsulfonyl, ethylsulfonyl, or benzyl that is optionally substituted by fluorine and/or chlorine,

R² represents methyl, ethyl, n-propyl, n-butyl, or phenyl that is optionally substituted by fluorine and/or chlorine, methyl, or ethyl,

R³ represents hydrogen, or

10 R² and R³ together represent -(CH₂)_n- that is optionally substituted by fluorine and/or chlorine, methyl, ethyl, or carbonyl, and

X⁻ represents bromide, ½ equivalent of sulfate, sulfite, or tetrafluoroborate.

4. A compound of the formula (I) according to Claim 1 wherein

15 R¹ represents methyl, ethyl, n-propyl, or isopropyl,

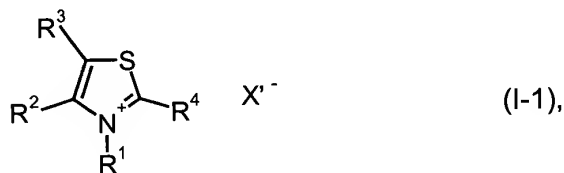
R² represents methyl or ethyl, and

X⁻ represents tetrafluoroborate.

5. A compound of the formula (I) according to Claim 1 wherein

R⁴ represents bromine.

20 6. A process for the preparation of compounds of formula (I-1)



in which

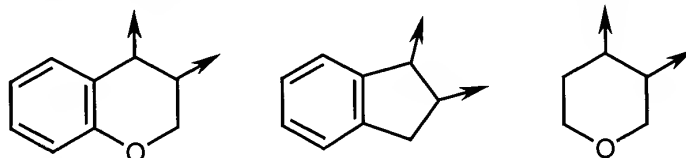
R¹ represents methyl, ethyl, n-propyl, isopropyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, or benzyl that is optionally substituted by halogen, nitro, C₁-C₄-alkyl, or C₁-C₄-alkoxy,

25 R² represents C₁-C₄-alkyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, phenyl that is optionally

substituted by halogen, NO₂, C₁-C₄-alkyl, C₁-C₄-halogenoalkyl, C₁-C₄-alkylsulfonyl, C₁-C₄-alkoxy, C₁-C₄-halogenoalkoxy, C₁-C₄-alkoxycarbonyl, C₁-C₄-halogenoalkoxycarbonyl, C₁-C₄-alkylcarbonyloxy, or C₁-C₄-halogenoalkylcarbonyloxy, benzyl that is optionally substituted by halogen, nitro, C₁-C₄-alkyl, or C₁-C₄-alkoxy, or pyrrolyl, thienyl, naphthyl, or benzothiophenyl, each of which is optionally substituted by halogen, C₁-C₄-alkyl, or C₁-C₄-halogenoalkyl,

R³ represents hydrogen, methyl, or ethyl, or

R² and R³ together represent -(CH₂)_n- that is optionally substituted by halogen, NO₂, carboxyl, carbonyl, C₁-C₄-alkyl, C₁-C₄-halogenoalkyl, C₁-C₄-alkoxy, or C₁-C₄-halogenoalkoxy or the optionally halogen-, NO₂-, C₁-C₄-alkyl-, C₁-C₄-halogenoalkyl-, C₁-C₄-alkoxy-, or C₁-C₄-halogenoalkoxy-substituted groups having the formulas



where the arrows mark the points of linkage to the thiazole ring, and n represents 3, 4 or 5,

R⁴ represents bromine or chlorine, and

X⁻ represents chloride, bromide, iodide, hydrogen sulfate, ½ equivalent of sulfate, sulfite, SbCl₆⁻, methanesulfonate, trifluoromethanesulfonate, or p-toluenesulfonate, comprising

(a) reacting compounds of the formula (II)



in which R², R³ and R⁴ have the meanings indicated for formula (I-1),

in which

R^1 has the meaning indicated for formula (I-1), and

5 X' represents chlorine, bromine, iodine, sulfoxy, ½ equivalent of sulfate, sulfate, SbCl_6^- , methylsulfonyloxy, trifluorosulfonyloxy or toluenesulfonyloxy, in the presence of a diluent, or

(b) reacting compounds of the formula (II)



in which R^2 , R^3 and R^4 have the meanings indicated for formula (I-1),

with sulfonating reagents of the formula (VII)

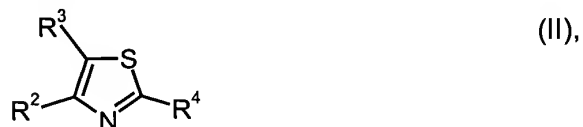


15 in which

R^1 has the meaning indicated for formula (I-1),

in the presence of a diluent, or

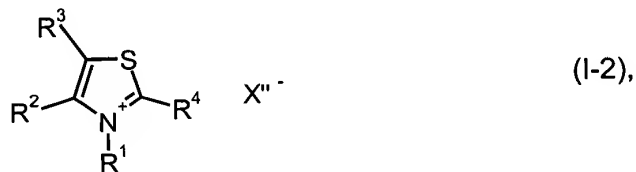
(c) oxidizing compounds of the formula (II)



20 in which R^2 , R^3 and R^4 have the meanings indicated for formula (I-1),

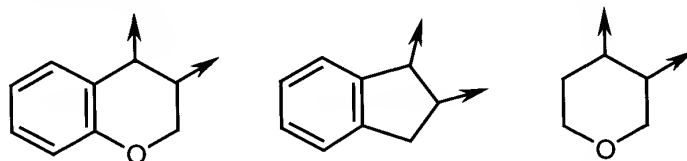
using hydrogen peroxide, peracids, or NaOCl.

7. A process for the preparation of compounds of formula (I-2)



in which

- 5 R^1 represents methyl, ethyl, n-propyl, isopropyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, or benzyl that is optionally substituted by halogen, nitro, C_1 - C_4 -alkyl, or C_1 - C_4 -alkoxy,
- 10 R^2 represents C_1 - C_4 -alkyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, phenyl that is optionally substituted by halogen, NO_2 , C_1 - C_4 -alkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -alkylsulfonyl, C_1 - C_4 -alkoxy, C_1 - C_4 -halogenoalkoxy, C_1 - C_4 -alkoxycarbonyl, C_1 - C_4 -halogenoalkoxycarbonyl, C_1 - C_4 -alkylcarbonyloxy, or C_1 - C_4 -halogenoalkylcarbonyloxy, benzyl that is
- 15 optionally substituted by halogen, nitro, C_1 - C_4 -alkyl, or C_1 - C_4 -alkoxy, or pyrrolyl, thienyl, naphthyl, or benzothiophenyl, each of which is optionally substituted by halogen, C_1 - C_4 -alkyl, or C_1 - C_4 -halogenoalkyl,
- R^3 represents hydrogen, methyl, or ethyl, or
- 20 R^2 and R^3 together represent $-(CH_2)_n-$ that is optionally substituted by halogen, NO_2 , carboxyl, carbonyl, C_1 - C_4 -alkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -alkoxy, or C_1 - C_4 -halogenoalkoxy or the optionally halogen-, NO_2 -, C_1 - C_4 -alkyl-, C_1 - C_4 -halogenoalkyl-, C_1 - C_4 -alkoxy-, or C_1 - C_4 -halogenoalkoxy-substituted groups having the formulas



- 25 where the arrows mark the points of linkage to the thiazole ring, and n represents 3, 4 or 5,

R^4 represents bromine or chlorine, and

X''^- represents tetrafluoroborate, tetraphenylborate, or hexafluorophosphate,

comprising

- 5 (a) reacting compounds of the formula (II)



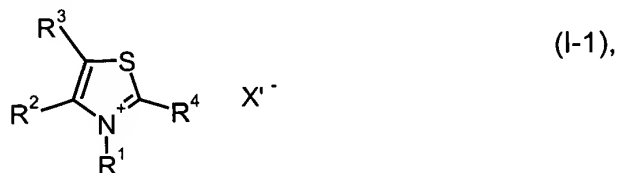
in which R^2 , R^3 and R^4 have the meanings indicated for formula (I-2),

with alkylating reagents of the formula (IV)

- 10 $(R^1)_3-O^+ X''^-$ (IV),

in which R^1 and X''^- have the meanings indicated for formula (I-2),
in the presence of a diluent, or

- (b) exchanging the anion X'^- of compounds of the formula (I-1)



- 15 in which

R^1 , R^2 , R^3 , and R^4 have the meanings indicated for formula (I-2),
and

X' represents chlorine, bromine, iodine, sulfoxy, $\frac{1}{2}$ equivalent of sulfate, sulfate, $SbCl_6^-$, methylsulfonyloxy, trifluorosulfonyloxy
20 or toluenesulfonyloxy,

with tetrafluoroboric acid, tetraphenylboric acid, or hexafluorophosphoric acid or an anion exchanger loaded with tetrafluoroboric acid, tetraphenylboric acid, or hexafluorophosphoric acid so that X''^- has the meaning indicated for formula (I-2).

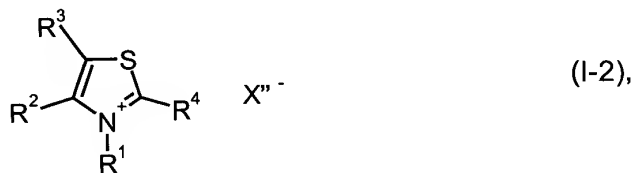
- 25 8. A condensation agent comprising a compound according to

Claim 1.

9. A peptide coupling reagent comprising a condensation agent according to Claim 8.

10. A method comprising synthesizing peptides with a condensation agent wherein the condensation agent is a compound according to Claim 1.

11. A compound of the formula (I-2)



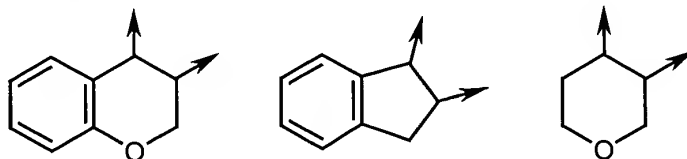
in which

10 R^1 represents methyl, ethyl, n-propyl, isopropyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, or benzyl that is optionally substituted by halogen, nitro, C_1 - C_4 -alkyl, or C_1 - C_4 -alkoxy,

15 R^2 represents C_1 - C_4 -alkyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, phenyl that is optionally substituted by halogen, NO_2 , C_1 - C_4 -alkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -alkylsulfonyl, C_1 - C_4 -alkoxy, C_1 - C_4 -halogenoalkoxy, C_1 - C_4 -alkoxycarbonyl, C_1 - C_4 -halogenoalkoxycarbonyl, C_1 - C_4 -alkylcarbonyloxy, or C_1 - C_4 -halogenoalkylcarbonyloxy, benzyl that is optionally substituted by halogen, nitro, C_1 - C_4 -alkyl, or C_1 - C_4 -alkoxy, 20 or pyrrolyl, thienyl, naphthyl, or benzothiophenyl, each of which is optionally substituted by halogen, C_1 - C_4 -alkyl, or C_1 - C_4 -halogenoalkyl,

R^3 represents hydrogen, methyl, or ethyl, or

25 R^2 and R^3 together represent $-(CH_2)_n-$ that is optionally substituted by halogen, NO_2 , carboxyl, carbonyl, C_1 - C_4 -alkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -alkoxy, or C_1 - C_4 -halogenoalkoxy or the optionally halogen-, NO_2 -, C_1 - C_4 -alkyl-, C_1 - C_4 -halogenoalkyl-, C_1 - C_4 -alkoxy-, or C_1 - C_4 -halogenoalkoxy-substituted groups having the formulas



where the arrows mark the points of linkage to the thiazole ring, and

n represents 3, 4 or 5,

R^4 represents bromine or chlorine, and

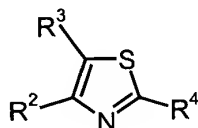
- 5 X^{m-} represents tetrafluoroborate, tetraphenylborate, or hexafluorophosphate,

with the exception of compounds in which R^4 represents bromine and R^2 represents CH_3 when R^3 represents hydrogen or CH_3 ; in which R^4 represents chlorine and R^2 represents CH_3 when R^3 represents hydrogen;

- 10 and in which R^4 represents bromine and R^2 represents ethyl when R^3 represents hydrogen.

12. A process for the preparation of compounds of the formula

(II)



(II),

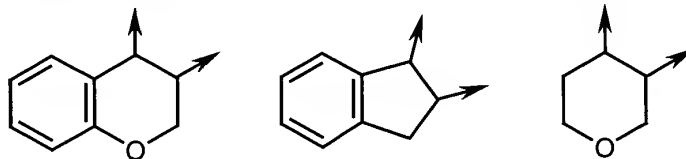
- 15 in which

R^2 represents C_1 - C_4 -alkyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, phenyl that is optionally substituted by halogen, NO_2 , C_1 - C_4 -alkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -alkylsulfonyl, C_1 - C_4 -alkoxy, C_1 - C_4 -halogenoalkoxy, C_1 - C_4 -alkoxycarbonyl, C_1 - C_4 -halogenoalkoxycarbonyl, C_1 - C_4 -alkyl-carbonyloxy, or C_1 - C_4 -halogenoalkylcarbonyloxy, benzyl that is optionally substituted by halogen, nitro, C_1 - C_4 -alkyl, or C_1 - C_4 -alkoxy, or pyrrolyl, thienyl, naphthyl, or benzothiophenyl, each of which is optionally substituted by halogen, C_1 - C_4 -alkyl, or C_1 - C_4 -halogeno-alkyl,

25

R^3 represents hydrogen, methyl, or ethyl, or

R^2 and R^3 together represent $-(CH_2)_n-$ that is optionally substituted by halogen, NO_2 , carboxyl, carbonyl, C_1 - C_4 -alkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -alkoxy, or C_1 - C_4 -halogenoalkoxy or the optionally halogen-, NO_2 -, C_1 - C_4 -alkyl-, C_1 - C_4 -halogenoalkyl-, C_1 - C_4 -alkoxy-, or C_1 - C_4 -halogenoalkoxy-substituted groups having the formulas

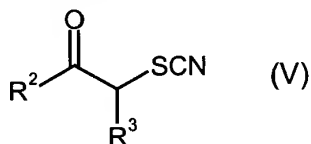


where the arrows mark the points of linkage to the thiazole ring, and n represents 3, 4 or 5, and

R^4 represents bromine or chlorine,

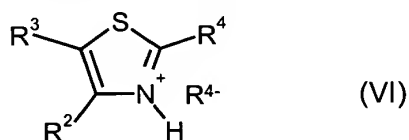
comprising

(1) reacting compounds of the formula (V)



in which

R^2 and R^3 have one of the meanings indicated for formula (II), with hydrogen bromide or hydrogen chloride in the presence of a diluent to form a compound of the formula (VI)



in which R^2 , R^3 and R^4 have one of the meanings indicated for formula (II) and R^{4-} is bromide or chloride, and

(2) releasing the hydrogen bromide or hydrogen chloride from the compound of the formula (VI).

100



in which n represents 1 or 2.

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